=> d his

(FILE 'HOME' ENTERED AT 14:48:47 ON 26 JUN 2006)

FILE 'CAPLUS, MEDLINE, BIOSIS' ENTERED AT 14:49:05 ON 26 JUN 2006

L1 8137 S AMIDE (1W) BOND
L2 1303 S PEGYLATION
L3 60709 S N (1W) TERMINUS
L4 0 S L1 (L) L2 (L) L3
L5 9 S L1 (L) L2
L6 4 DUP REM L5 (5 DUPLICATES REMOVED)
L7 1 S L6 AND TERMINUS

=> d l6 1-4 ti au py so kwic

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
TI Long-acting interferon-α 2a modified with a trimer-structured polyethylene glycol: Preparation, in vitro bioactivity, in vivo stability and pharmacokinetics
AU Jo, Yeong Woo; Youn, Yu Seok; Lee, Sung Hee; Kim, Byong Moon; Kang, Soo Hyung; Yoo, Moohi; Choi, Eung Chil; Lee, Kang Choon
PY 2006
SO International Journal of Pharmaceutics (2006), 309(1-2), 87-93
CODEN: IJPHDE; ISSN: 0378-5173
AB The proper selection of size and shape for polyethylene glycol (PEG) is one of the most important points in **PEGylation** technol. Therefore, PEGs of various sizes and shapes have been widely developed to endow specific properties. In this study, a unique, trimer-structured, 43 kDa PEG was conjugated to interferon-α 2a (IFN) by forming an **amide bond** to improve the pharmacokinetic properties and minimize the loss of IFN bioactivity. Mono-PEGylated IFN (PEG3-IFN) prepared by utilizing this unique. . .

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
TI Effects of PEG conjugation on insulin properties
AU Hinds, Kenneth D.; Kim, Sung Wan
PY 2002
SO Advanced Drug Delivery Reviews (2002), 54(4), 505-530
CODEN: ADDREP; ISSN: 0169-409X
AB . . . low-mol.-weight monomethoxypoly(ethylene glycol) (mPEG) were chemical coupled to insulin via its amino groups at positions phenylalanine-B1 or lysine-B29, with an **amide bond** being formed between the polymer and protein. The site-specific attachment of mPEG to insulin did not substantially alter insulin's secondary/tertiary. . . structure, self-association behavior, or potency in vivo. However, mPEG attachment did significantly enhance insulin's resistance to aggregation. In addition, the **pegylation** of insulin almost completely eliminates the resultant conjugate's immunogenicity, allergenicity, and antigenicity. Finally, the conjugates were observed to remain in. . .

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
TI New PEGs for peptide and protein modification, suitable for identification of the PEGylation site
AU Veronese, F. M.; Sacca, B.; de Laureto, P. Polverino; Sergi, M.; Caliceti, P.; Schiavon, O.; Orsolini, P.
PY 2001
SO Bioconjugate Chemistry (2001), 12(1), 62-70
CODEN: BCCHES; ISSN: 1043-1802
AB . . . arm, Met-Nle or Met-βAla, activated as succinimidyl ester. PEG-Met-Nle-OSu or PEG-Met-βAla-OSu react with amino groups in protein-yielding conjugates with stable **amide bond**. From these conjugates PEG may be removed by BrCN treatment, leaving Nle or βAla as reporter amino acid, at the. . . sequence of glucagone and on lysozyme as model peptide or protein. Furthermore, insulin, a protein with three potential sites of **PEGylation**, was modified by PEG-Met-Nle, and the PEG isomers were separated by HPLC. After removal of PEG, as reported above, the sites of **PEGylation** were identified by characterization of the two insulin chains obtained after reduction and carboxymethylation. Mass spectrometry, amino acid anal. and. . .

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
TI Domain ligation strategy employing weak base reaction with aldehyde to prepare macromolecular conjugates
IN Tam, James P.
PY 1995
1996
1995
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
AB . . . is highly specific and may undergo a subsequent intramol. O to N-acyl rearrangement step which results in the formation of **amide**

bond. Weak bases on a peptide segment are those that contain 1,2- or 1,3-amino thiol or alc. or those that contain. . . a method using the same concept of weak base-aldehyde ligation for site-specific modification of peptides or proteins by lipidation and **pegylation** . More particularly, the invention relates to the modification of the protein gp120 derived from the human immunodeficiency virus-1 at the. . . chains (lipidation) to increase its efficacy as a vaccine and the modification of the cytokine interleukin-2 by polyethylene glycol (PEG, **pegylation**) to increase its stability.